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Result list | previous < 16 of 28 > next

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1,3,4-trisubstituted pyrrolidinones as scaffolds for construction of peptidomimetic cholecystokinin antagonists

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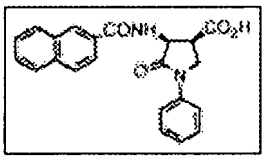
Abstract

A new series of cholecystokinin (CCK) antagonists are described which utilizes a new 1,3,4-trisubstituted pyrrolidinone as a scaffold for appending specific amino acid R group mimics (Figure 1). Compound 1A and 1E (SC-50998) exhibit potent nanomolar IC_{50} values in a CCK-A receptor binding assay. Compound 1E behaves as a competitive antagonist in vitro and is orally active.

Graphical Abstract

A new series of cholecystokinin-A receptor (CCK-A) antagonists are described which utilizes a 1,3,4-trisubstituted pyrrolidonone as a scaffold for appending specific amino acid R group mimics.



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Result list | previous < 16 of 28 > next